Abstract

The invention relates to a process for preparing 5-(α-haloacetyl)-8-substituted oxy-(*1H*)-quinolin-2-ones. The process involves (i) reacting (a) 8-hydroxy-(*1H*)-quinolin-2-one with an acylating agent and a Lewis acid to form 5-acetyl-8-hydroxy-(*1H*)-quinolin-2-one; or (b) 8-hydroxy-(*1H*)-quinolin-2-one with an acylating agent to form 8-acetoxy-(*1H*)-quinolin-2-one, and treating, in-situ, the 8-acetoxy-(*1H*)-quinolin-2-one with a Lewis acid to form 5-acetyl-8-hydroxy-(*1H*)-quinolin-2-one; or (c) 8-acetoxy-(*1H*)-quinolin-2-one with a Lewis acid to form 5-acetyl-8-hydroxy-(*1H*)-quinolin-2-one; (ii) reacting the 5-acetyl-8-hydroxy-(*1H*)-quinolin-2-one prepared in Step (i) with a compound having the Formula RL in the presence of a base and a solvent to form 5-acetyl-8-substituted oxy-(*1H*)-quinolin-2-one, wherein R is a protecting group and L is a leaving group; and (iii) reacting the 5-acetyl-8-substituted oxy-(*1H*)-quinolin-2-one with a halogenating agent in the presence of a solvent to form a 5-(α-haloacetyl)-8-substituted oxy-(*1H*)-quinolin-2-one.